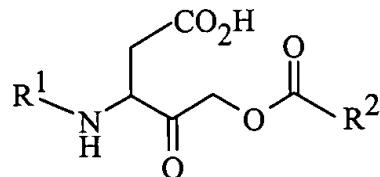


In the claims:

Please cancel claim 31 without prejudice.

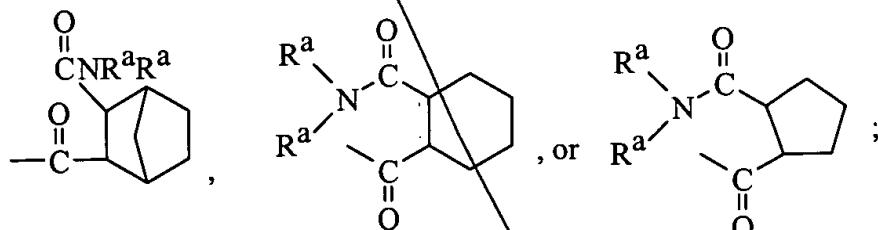
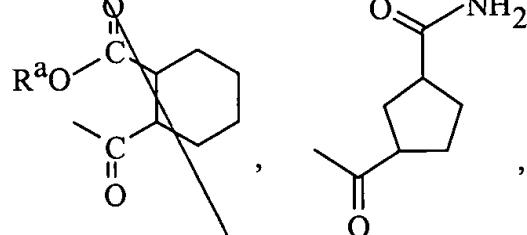
Sub C 1. (twice amended) A compound of the Formula I



I

wherein R¹ is R³OC-,
R³CO-,
R³SO₂-

R^a
R⁵NCHR⁶CO-,

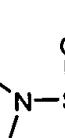


each R^a is independently hydrogen, C₁-C₆ alkyl, or -(CH₂)_n aryl;

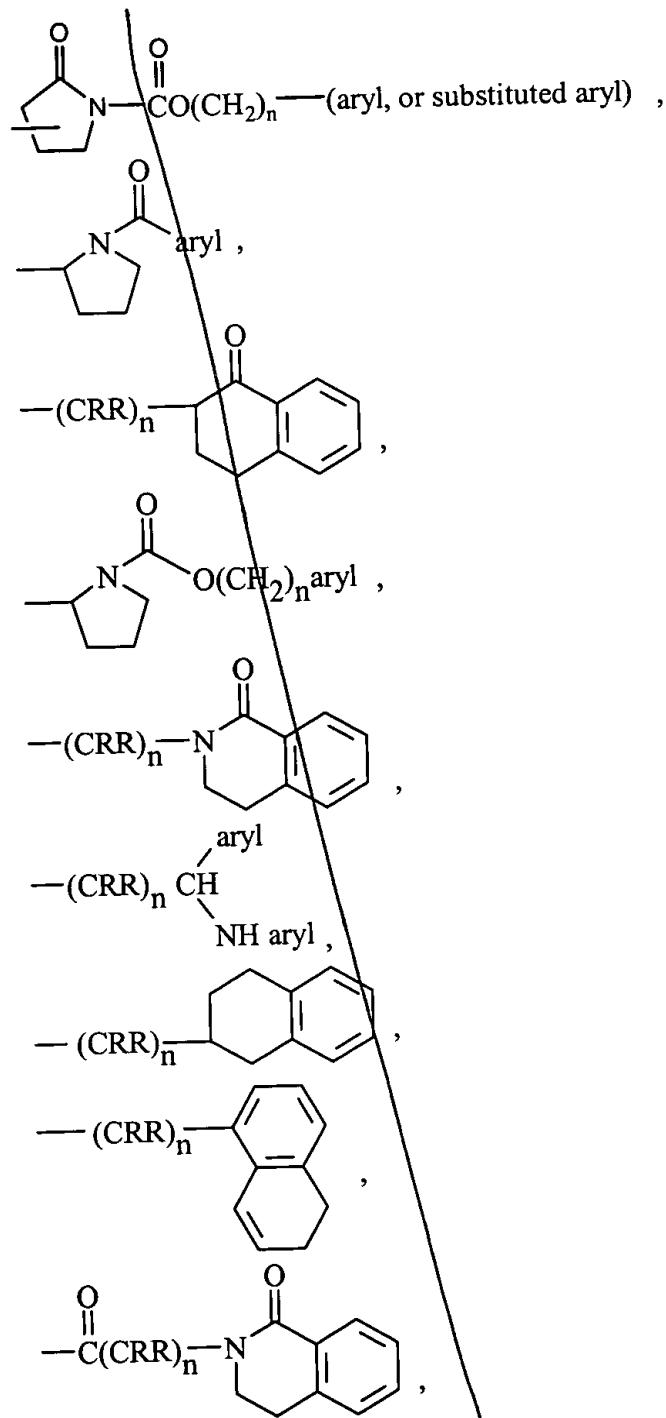
R² is -(CRR)_n-aryl,

-(CRR)_n-X-aryl,

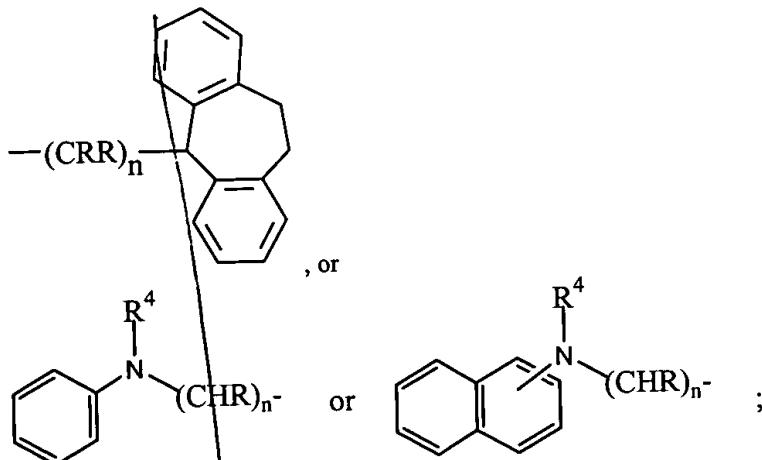
$-(CRR)_n$ -heteroaryl,
 $-(CRR)_n$ -X-heteroaryl,
 $-(CRR)_n$ -(substituted-heteroaryl),
 $-(CRR)_n$ -(substituted-aryl),
 $-(CRR)_n$ -X-(substituted-aryl),
 $-(CRR)_n$ -aryl-aryl,
 $-(CRR)_n$ -aryl-heteroaryl,
 $-(CRR)_n$ -aryl- $(CH_2)_n$ -aryl,
 $-(CRR)_n$ -CH(aryl) $_2$,
 $-(CRR)_n$ -cycloalkyl,
 $-(CRR)_n$ -X-cycloalkyl,
 $-(CRR)_n$ -heterocycle,
 $-(CRR)_n$ -X-heterocycle,
 $-(CRR)_n$ substituted heterocycle,

$\text{---}(\text{CRR})_n\text{---CH} \begin{cases} (\text{CH}_2)_n\text{---aryl} \\ (\text{CH}_2)_n\text{---aryl,} \\ (\text{CH}_2)_n\text{---substituted aryl} \end{cases}$
 $\text{---}(\text{CRR})_n\text{---CH} \begin{cases} (\text{CH}_2)_n\text{---aryl} \end{cases}$
 $\text{---}(\text{CRR})_n\text{---N} \begin{cases} \text{O} \\ \text{cyclopentyl} \end{cases}$,
 $\text{---}(\text{CRR})_n\text{---CH} \begin{cases} (\text{CH}_2)_n\text{---heteroaryl} \\ (\text{CH}_2)_n\text{---aryl} \end{cases}$

 $\text{---S(=O)(=O)}\text{---}(\text{aryl, or substituted aryl})$

1
B
cont'd



B
cont'd



each R is independently hydrogen, C₁-C₆ alkyl, halogen or hydroxy;

X is O or S;

R³ is C₁-C₆ alkyl,

aryl,

heteroaryl,

-(CHR)_n-aryl,

-(CHR)_n-heteroaryl,

-(CHR)_n-substituted heteroaryl,

-(CHR)_n-substituted aryl,

$\begin{array}{c} \text{O} \\ \parallel \\ -(\text{CRR})_n\text{COR}^{\text{a}} \end{array}$

-(CRR)_nS(CH₂)_n-aryl,

cycloalkyl,

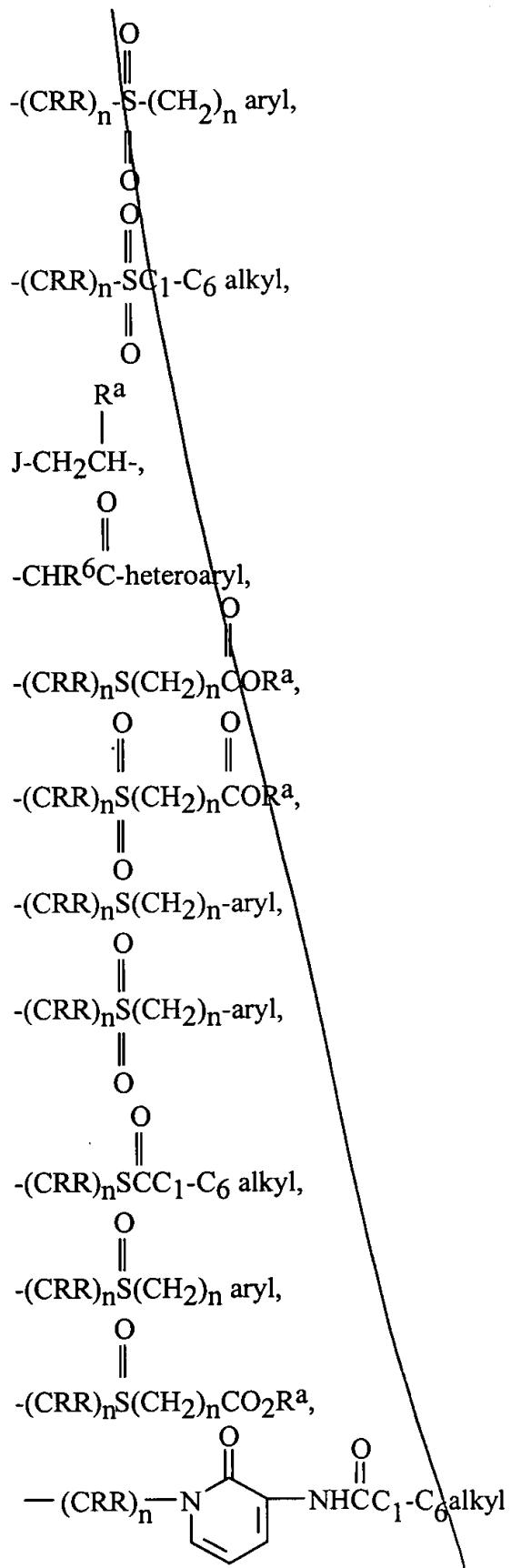
substituted cycloalkyl,

heterocycle,

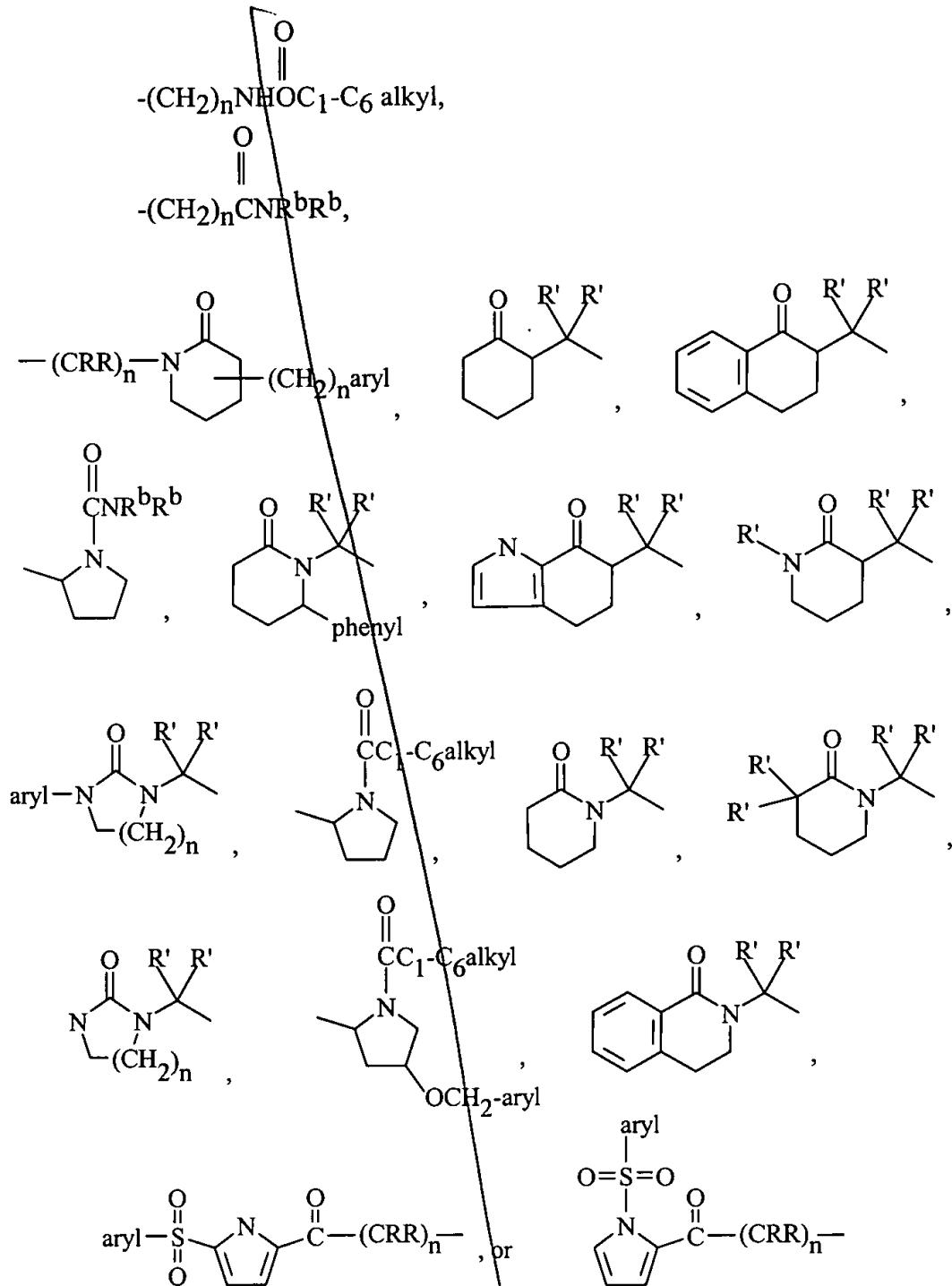
substituted heterocycle,

$\begin{array}{c} \text{O} \\ \parallel \\ -(\text{CRR})_n\text{CNR}^{\text{a}}\text{R}^{\text{a}} \end{array}$

B
cont'd



B
cont'd



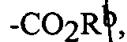
each R' is independently C_1-C_6 alkyl,

C_1-C_6 alkylaryl,

aryl, or

hydrogen;

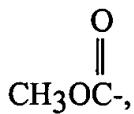
each J is independently



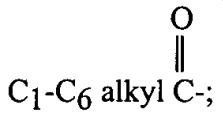
each R^b is independently hydrogen, C₁-C₆ alkyl, aryl, substituted aryl; arylalkyl, heteroarylalkyl, substituted arylalkyl, or substituted heteroarylalkyl;

R⁴ is hydrogen,

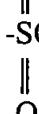
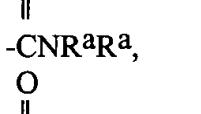
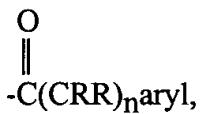
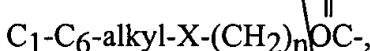
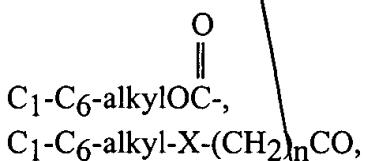
C₁-C₆ alkyl,



-phenyl, or



R⁵ is C₁-C₆ alkyl-CO-, -(CH₂)_n aryl,



B
Contd

$\begin{array}{c} \text{O} & \text{O} \\ \parallel & \parallel \\ -\text{C}(\text{CH}_2)_n\text{CNR}^a\text{R}^a, \end{array}$
 $\begin{array}{c} \text{O} \\ \parallel \\ -\text{CO}(\text{CH}_2)_n\text{aryl}, \end{array}$
 $\begin{array}{c} \text{O} \\ \parallel \\ -\text{CO}(\text{CH}_2)_n\text{substituted aryl}, \end{array}$
 $\begin{array}{c} \text{O} & \text{O} \\ \parallel & \parallel \\ -\text{C}(\text{CRR}')_n\text{NHCO}(\text{CH}_2)_n\text{-aryl}, \end{array}$
 $\begin{array}{c} \text{O} \\ \parallel \\ -\text{C}-\text{CH}-\text{N}^{\text{R}^a} \\ \quad | \\ \quad \text{R}^6 \\ \quad | \\ \quad \text{R}^9 \end{array},$
 $-(\text{CH}_2)_n\text{X}(\text{CH}_2)_n\text{-aryl},$
 $-\text{C}_1\text{-C}_6\text{ alkyl X-C}_1\text{-C}_6\text{ alkyl aryl, or}$

$\begin{array}{c} \text{O} & \text{O} & \text{O} \\ \parallel & \parallel & \parallel \\ -\text{C}-\text{CH}-\text{NHC}-\text{CH}-\text{NHCC}_1\text{-C}_6\text{alkyl}; \\ | & | & | \\ (\text{CH}_2)_n & \text{CH}_2\text{-heteroaryl} \\ | \\ \text{CO}_2\text{R}^a \end{array}$

R^{5a} is

$\begin{array}{c} \text{O} \\ \parallel \\ \text{CC}_1\text{-C}_6\text{ alkyl}, \end{array}$
 $\begin{array}{c} \text{O} \\ \parallel \\ -\text{CO}\text{C}_1\text{-C}_6\text{ alkyl}, \end{array}$
 $\begin{array}{c} \text{O} & \text{O} \\ \parallel & \parallel \\ -\text{C}-\text{CH}-\text{NHCC}_1\text{-C}_6\text{ alkyl}, \\ | \\ (\text{CH}_2)_n \\ | \\ \text{aryl or substituted aryl}, \end{array}$

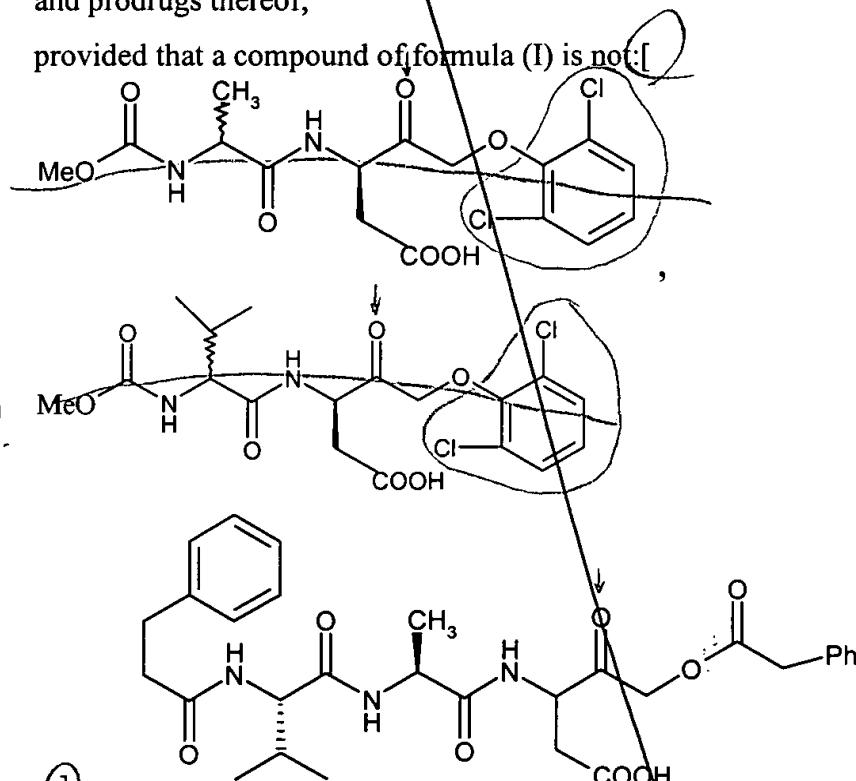
B
Contd

$\text{CO}(\text{CH}_2)_n\text{aryl}$,
 $\text{C}(\text{CH}_2)_n\text{ aryl}$, or
 $\text{CCHNHCC}_1\text{-C}_6\text{ alkyl}$;
 $\text{CH}_2\text{ heteroaryl}$

R^6 is hydrogen,
 $\text{C}_1\text{-C}_6$ alkyl, $-(\text{CH}_2)_n$ aryl, $-(\text{CH}_2)_n\text{CO}_2\text{R}^a$, hydroxyl substituted $\text{C}_1\text{-C}_6$
 alkyl, or imidazole substituted $\text{C}_1\text{-C}_6$ alkyl;

each n is independently 0 to 3, and the pharmaceutically acceptable, salts, esters, amides, and prodrugs thereof;

provided that a compound of formula (I) is not:



and further provided that:

- (a) when R^2 is aryl, substituted aryl, cycloalkyl, phenyl-phenyl- CH_2 -, piperidino, heteroaryl or substituted heteroaryl; and R^1 is $(\text{R}^5\text{R}^a)\text{N}-\text{CH}(\text{R}^6)-\text{CO}-$, then R^a is not hydrogen when

R^6 is a side chain of an amino acid;

R^5 is aryl-C(O)-, aryl-(CH₂)-O-C(O)-NH-CH(R)-C-(O)-;

where R is H or (C₁-C₆)alkyl or R^{5a}-NH-CH(R⁶)-C(O)-;

where R⁶ is a side chain of an amino acid and R^{5a} is an amino acid protecting group;

(b) when R¹ is R³-O-C(O)- where R³ is CH₂=CH-CH₂-, then R² is not Ph(CH₂)₂-,

PhO(CH₂)₂-, trans-PhCH=CH or cyclohexyl(CH₂)₂;

(c) when R¹ is (R⁵R^a)N-CH(R⁶)-CO-;

where R⁶ is H, (C₁-C₆)alkyl, benzyl or hydroxyalkyl;

R^a is H, (C₁-C₆)alkyl, phenyl or benzyl; and

R⁵ is -C(O)-O-(C₁-C₆)alkyl, -C(O)-N(R^aR^a), -C(O)-(C¹-C⁶)alkyl, -phenyl-O-(C₁-C₆)alkyl or -phenyl-(CH₂)₁₋₄-N(R^aR^a);

then R² is not a phenyl or naphthyl group optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxy, CF₃, NO₂, (C₁-C₆)alkoxy, -CO-(C₁-C₆)alkyl, -NR^aC(O)-(C₁-C₆)alkyl, -CON(R^aR^a), -SO₂N(R^aR^a), -SO₂-(C₁-C₆)alkyl, -COO-(C₁-C₆)alkyl, (C₁-C₆)alkyl, cycloalkyl and -O-(CH₂)₁₋₆-phenyl-O-(C₁-C₆)alkyl; and

(d) when R¹ is R⁵-NH-CH(R⁶)-C(O)-, where R⁵ is R^{5a}-NH-CH(R⁶)-C(O)- and R^{5a} is -C(O)-(C₁-C₆)alkyl or -C(O)-aryl, then R² is not mono-, di-, tri-, tetra- or penta-substituted phenyl or mono-, di-, tri-substituted phenyl, 1-naphthyl, 9-anthracyl or 2-, 3- or 4-pyridyl.

B Sub 3 20. (amended) A compound in accordance with Claim 1 wherein each R^a is hydrogen;
[R²] R¹ is benzyloxycarbonyl, In order to save space, Applicants have omitted structural formulas here because the sole amendment to claim 20 is to replace "R²" with --R¹--.

P 3 51. (new) The compounds:

3-Benzenesulfonylamino-5-(naphthalene-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-Methoxycarbonylamino-5-(naphthalene-1-yl-acetoxy)-4-oxo-pentanoic acid;

5-(Naphthalene-1-yl-acetoxy)-4-oxo-3-(3-phenyl-propionylamino)-pentanoic acid;

3-Methoxycarbonylamino-4-oxo-5-phenoxyacetoxy-pentanoic acid; and

3-(2-Methanesulfonyl-1-methyl-ethylsulfonylamino)-5-(naphthalene-1-yl-acetoxy)-4-oxo-pentanoic acid.

B3
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